ABSTRACT

COMPOUNDS USEFUL AS MODULATORS OF MELANOCORTIN RECEPTORS AND PHARMACEUTICAL COMPOSITIONS COMPRISING **SAME**

Compounds having the formula (I),

$$R_{3}$$
 R_{2}
 $X - R_{1}$
 $C(CR_{13}R_{14})_{x}$
 $C(R_{16}R_{15}C)_{y}$
 $C(R_{16}R_{15}C)_{y}$
 $C(R_{16}R_{15}C)_{y}$
 $C(R_{16}R_{15}C)_{y}$

10

5

wherein E is
$$R_7$$
 R_{6a} R_{6b} R_7 R_7 R_{6a} R_{7} $R_$

 $A_2 - OR_{17}$, $A_1 - C(=O)R_{18}$, $A_1 - CO_2R_{18}$, $A_1 - C(=O)NR_{18}R_{19}$, $A_1 - OC(=O)R_{18}$, $A_1-NR_{18}C(=O)R_{19}$, $A_1-OC(=O)NR_{18}R_{19}$, $A_1-NR_{18}CO_2R_{19}$, $A_1-NR_{18}SO_2R_{17}$, $A_1-SO_2R_{17}$, $A_1-NR_{20}C(=O)NR_{18}R_{19}$, and A_1-SR_{18} ; or when y is 0 or when W is not 15 NHR₂₂, G may be A₁-heterocyclo, wherein A₁ is a bond, C₁₋₆alkylene or C₂-alkenylene, A₂ is C₁₋₆alkylene or C₂₋₆alkenylene, and A₃ is C₂₋₆alkenylene; W is selected from – $NR_{21}R_{22}$, $-OR_{23}$, $-NR_{21}C(=O)R_{24}$, $-NR_{21}CO_2R_{24}$, amidino, guanidino, or a heteroaryl, heterocyclo or C₃₋₇cycloalkyl as defined in the specification, and X and R₁ through R₂₄ are as defined in the specification, are effective as modulators of melanocortin-receptors, 20 particularly MC-1R and MC-4R.

G is a novel side chain selected from C₂₋₆alkenyl, A₃-aryl, -OR₁₈, heteroaryl, A₁-cyano,